

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

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Applicant's or agent's file reference MAP33019		FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)
International application No. PCT/GB 03/01205	International filing date (day/month/year) 21.03.2003	Priority date (day/month/year) 22.03.2002
International Patent Classification (IPC) or both national classification and IPC C07D471/04, C07D471/04		
Applicant GLAXO GROUP LIMITED et al.		

- This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
- This REPORT consists of a total of 5 sheets, including this cover sheet.

☐ This report is accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been submitted on the basis for this report and/or sheets containing rectifications made before this Authority (see paragraph 607 of the Administrative Instructions under the PCT).

 These ANNEXES consist of a total of sheets.

- This report contains indications relating to the following items:
 - I ☒ Basis of the opinion
 - II ☐ Priority
 - III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV ☐ Lack of unity of invention
 - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI ☐ Certain documents cited
 - VII ☐ Certain defects in the international application
 - VIII ☐ Certain observations on the international application

Date of submission of the demand 06.10.2003	Date of completion of this report 16.03.2004
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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/GB 03/01205

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-172 as originally filed

Claims, Numbers

1-19 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).
3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:
- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.
4. The amendments have resulted in the cancellation of:
- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:
5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application,
☒ claims Nos. 18 (industrial applicability)

because:

- ☒ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
☐ no international search report has been established for the said claims Nos.

2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Administrative Instructions:

- ☐ the written form has not been furnished or does not comply with the Standard.
☐ the computer readable form has not been furnished or does not comply with the Standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-19
Inventive step (IS)	Yes: Claims	
	No: Claims	1-19
Industrial applicability (IA)	Yes: Claims	1-17,19
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

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Re Item III

Claim 18 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

Re Item V

1. PRIOR ART

Reference is made to the following documents:

- D1: DE-A-3722992
- D2: Anales De Quimica (1993), 89(3), 375-8
- D3: Nucleic Acids Research (1991), 19(11), 2841-7
- D4: EP-A-1034793
- D5: WO-A-0157018

2. NOVELTY

The subject-matter of the claims is anticipated by D1-D3 (Article 33(2) PCT). D1 discloses in claim 1 a generic formula overlapping with the generic formula of the present claim 1. The subject-matter of the present application cannot be considered as a new selection in the overlapping region, because D1 also discloses in example 9 a compound falling within the scope of the present claim 1. To restore the novelty of the present claims, the whole generic overlap with D1 should be removed from the present application. D2 and D3 disclose additional compounds which fall within the scope of the present claim 1 (D2, compound 9; D3, compound 4).

3. INVENTIVE STEP

D1-D3 do not relate to Msk- or Rho-kinase activity, therefore documents D4 and D5 are regarded as being the closest prior art to the subject-matter of claim 1. D4 discloses i.a. an imidazo[1,2-a]pyridine derivative with Rho-kinase activity and D5 discloses azaazulene compounds with Msk-kinase related activity. The problem to be solved by the present invention is seen in the provision of imidazopyridine derivatives with Msk- and/or Rho-kinase activity. The structural difference between the claimed compounds

and those of D4 and D5 is so essential, that even combining the subject-matter of the two prior art documents would not lead to the claimed invention.

However, the description appears to be completely silent about the actual testing of exemplified compounds. The breadth of the application should be such that it can be assumed that all the comprised possibilities actually solve the problem underlying the invention on which an inventive step could be based. If it turns out that the compounds of examples 1-316 of the application solve this problem it is apparent that they all have the following characteristics:

$R_1 = (c)$ with $X_{10} = N$ and $X_9 = O$

X_1, X_2 and $X_4 = CR$

$X_3 = N$

If those definitions are essential to the specific activity profile on which the acknowledgement of an inventive step is based, claim 1 should be restricted accordingly. In the absence of any test results it cannot be decided if the scope of the present claims represent a "reasonable generalisation" of those compounds for which it can be assumed that all the comprised possibilities actually solve the problem underlying the invention. Therefore, the subject-matter of the claims cannot be considered as involving an inventive step (Article 33(3) PCT).

It should be noted, that the reference to claim "1" is missing in claim 2.